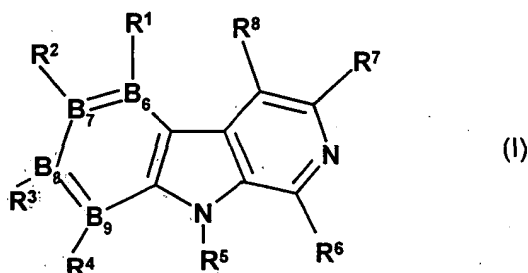


What is claimed is:

1. A compound of formula I



- 5 or a stereoisomeric form of a compound of the formula I or a physiologically tolerable salt of a compound of the formula I,
where B₆, B₇, B₈ and B₉ are ring atoms independently chosen from carbon atoms and nitrogen atoms, where B₆, B₇, B₈ and B₉ together comprise no more than two nitrogen atoms; wherein

- 10 in case a)

the substituents R¹, R² and R³ may be independently chosen from:

- 1.1. hydrogen atom,
- 1.2. halogen,
- 1.3. -CN,
- 15 1.4. -COOH,
- 1.5. -NO₂,
- 1.6. -NH₂,
- 1.7. -O-(C₁-C₁₀)-alkyl, wherein alkyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from:
 - 20 1.7.1 phenyl, which is unsubstituted or mono- to penta- substituted by substituents independently chosen from halogen or -O-(C₁-C₄)-alkyl,
 - 1.7.2 halogen,
 - 1.7.3 -NH₂,
 - 25 1.7.4 -OH,
 - 1.7.5 -COOR¹⁶, wherein R¹⁶ is hydrogen atom or -(C₁-C₁₀)-alkyl,
 - 1.7.6 -NO₂,

1.7.7 $-S(O)_y-R^{14}$, wherein y is zero, 1 or 2, R^{14} is $-(C_1-C_{10})$ -alkyl, phenyl, which phenyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those defined under 1.7.1 to 1.7.11, amino or $-N(R^{13})_2$,
5 wherein R^{13} is independently of one another chosen from hydrogen atom, phenyl, $-(C_1-C_{10})$ -alkyl, $-C(O)-(C_1-C_7)$ -alkyl, $-C(O)$ -phenyl, $-C(O)-NH-(C_1-C_7)$ -alkyl, $-C(O)-O$ -phenyl, $-C(O)-NH$ -phenyl, $-C(O)-O-(C_1-C_7)$ -alkyl, $-S(O)_y-R^{14}$, wherein R^{14} and y are as defined in 1.7.7,
10 and wherein the R^{13} alkyl or phenyl groups in each case are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, or
 R^{13} together with the nitrogen atom to which it is bonded may
15 be independently chosen to form a heterocycle having 5 to 7 ring atoms,

1.7.8 $-O$ -phenyl, wherein phenyl is unsubstituted or mono- to penta- substituted independently of one another as defined under 1.7.1 to 1.7.11,

20 1.7.9 a radical selected from pyrrolidine, tetrahydropyridine, piperidine, piperazine, imidazoline, pyrazolidine, furan, morpholine, pyridine, pyridazine, pyrazine, oxolan, imidazoline, isoxazolidine, 2-isoxazoline, isothiazolidine, 2-isothiazoline, thiophene or thiomorpholine,

25 1.7.10 $-(C_3-C_7)$ -cycloalkyl or

1.7.11 $=O$,

1.8. $-N(R^{13})_2$, wherein R^{13} is as defined in 1.7.7 above,

1.9. $-NH-C(O)-R^{15}$, wherein R^{15} is

30 1.9.1 a radical selected from pyrrolidine, tetrahydropyridine, piperidine, piperazine, imidazoline, pyrazolidine, furan, morpholine, pyridine, pyridazine, pyrazine, oxolan,

imidazoline, isoxazolidine, 2-isoxazoline, isothiazolidine, 2-isothiazoline, thiophene or thiomorpholine, wherein said radical is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, by $-\text{CF}_3$, by benzyl or by $-(\text{C}_1\text{-C}_{10})\text{-alkyl}$, wherein the $-(\text{C}_1\text{-C}_{10})\text{-alkyl}$ is mono to tri-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,

1.9.2 $-(\text{C}_1\text{-C}_{10})\text{-alkyl}$, wherein alkyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above or by $-\text{O}-(\text{C}_1\text{-C}_{10})\text{-alkyl}$, wherein alkyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,

1.9.3 $-(\text{C}_3\text{-C}_7)\text{-cycloalkyl}$,

1.9.4 $-\text{N}(\text{R}^{13})_2$, wherein R^{13} is as defined in 1.7.7 above, or

1.9.5 phenyl, wherein phenyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, by $-\text{O}-(\text{C}_1\text{-C}_{10})\text{-alkyl}$, by $-\text{CN}$, by $-\text{CF}_3$, by $-(\text{C}_1\text{-C}_{10})\text{-alkyl}$, wherein alkyl is mono to tri-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, or by two substituents of said phenyl which form a dioxolan ring ,

1.10. $-\text{S}(\text{O})_y\text{-R}^{14}$, wherein R^{14} and y are as defined in 1.7.7 above,

1.11. $-\text{C}(\text{O})\text{-R}^{12}$, wherein R^{12} is phenyl or $-(\text{C}_1\text{-C}_7)\text{-alkyl}$, wherein alkyl or phenyl are unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,

1.12. $-\text{C}(\text{O})\text{-O-R}^{12}$, wherein R^{12} is as defined in 1.11. above,

1.13. $-(C_1-C_{10})$ -alkyl, wherein alkyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,

1.14. $-O-(C_1-C_6)$ -alkyl- $O-(C_1-C_6)$ -alkyl,

5

1.15. $-O-(C_0-C_4)$ -alkyl- (C_3-C_7) -cycloalkyl,

1.16. $-(C_1-C_4)$ -alkyl- $N(R^{13})_2$, wherein R^{13} is as defined in 1.7.7 above

1.17. $-CF_3$ or

1.18. $-CF_2CF_3$,

R^4 is 1. $-(C_1-C_{10})$ -alkyl, wherein alkyl is mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,

10

2. $-CF_3$,

3. $-CF_2CF_3$,

4. $-CN$,

15

5. $-S(O)_yR^{14}$, wherein R^{14} and y are as defined in 1.7.7 above,

6. $-NH_2$,

7. $-O-(C_1-C_{10})$ -alkyl, wherein alkyl is mono- to penta- substituted by substituents independently chosen from

7.1 phenyl, which is unsubstituted or mono- to penta- substituted by substituents independently chosen from halogen or $-O-(C_1-C_4)$ -alkyl,

20

7.2 halogen,

7.3 $-NH_2$,

7.4 $-OH$,

25

7.5 $-COOR^{16}$, wherein R^{16} is hydrogen atom or $-(C_1-C_{10})$ -alkyl,

7.6 $-NO_2$,

7.7 $-S(O)_yR^{14}$, wherein y is zero, 1 or 2, R^{14} is $-(C_1-C_{10})$ -alkyl, phenyl, which phenyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, amino or $-N(R^{13})_2$,

30

wherein R^{13} is independently of one another chosen from hydrogen atom, phenyl, $-(C_1-C_{10})$ -alkyl, $-C(O)-(C_1-C_7)$ -alkyl, $-C(O)$ -phenyl, $-C(O)-NH-(C_1-C_7)$ -alkyl, $-C(O)-O$ -phenyl, $-C(O)-NH$ -phenyl, $-C(O)-O-(C_1-C_7)$ -alkyl, $-S(O)_y-R^{14}$, wherein R^{14} and y are defined as in 7.7 above,

and wherein the R^{13} alkyl or phenyl groups in each case are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, or

R^{13} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

7.8 $-O$ -phenyl, wherein phenyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,

7.9 a radical selected from pyrrolidine, tetrahydropyridine, piperidine, piperazine, imidazoline, pyrazolidine, furan, morpholine, pyridine, pyridazine, pyrazine, oxolan, imidazoline, isoxazolidine, thiophene, 2-isoxazoline, isothiazolidine, 2-isothiazoline, or thiomorpholine,

7.10 $-(C_3-C_7)$ -cycloalkyl or

7.11 $=O$,

8. $-N(R^{17})_2$, wherein R^{17} is independently of one another chosen from hydrogen atom, phenyl, $-(C_1-C_{10})$ -alkyl, $-C(O)$ -phenyl, $-C(O)-NH-(C_1-C_7)$ -alkyl, $-C(O)-(C_1-C_{10})$ -alkyl, $-C(O)-O$ -phenyl, $-C(O)-NH$ -phenyl, $-C(O)-O-(C_1-C_7)$ -alkyl, $-S(O)_y-R^{14}$, wherein R^{14} and y are as defined as in 7.7 above,

and wherein alkyl or phenyl in each case are unsubstituted or mono- to penta- substituted independently of one another as defined under 1.7.1 to 1.7.11 above, or

R^{17} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

9. -NH-C(O)-R¹⁵, wherein R¹⁵ is

- 9.1 a radical selected from pyrrolidine, tetrahydropyridine, piperidine, piperazine, imidazoline, pyrazolidine, furan, morpholine, pyridine, pyridazine, pyrazine, oxolan, imidazoline, isoxazolidine, 2-isoxazoline, isothiazolidine, 2-isothiazoline, thiophene or thiomorpholine, wherein said radical is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, -CF₃, benzyl or by - (C₁-C₁₀)-alkyl, wherein alkyl is mono to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
- 9.2 -(C₁-C₁₀)-alkyl, wherein alkyl is mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above or by -O-(C₁-C₁₀)-alkyl, wherein alkyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
- 9.3 -(C₃-C₇)-cycloalkyl,
- 9.4 -N(R¹³)₂, wherein R¹³ is as defined in 1.7.7 above provided that -N(R¹³)₂ is not -NH₂, or
- 9.5 phenyl, wherein phenyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, by -O-(C₁-C₁₀)-alkyl, by -CN, by -CF₃, by -(C₁-C₁₀)-alkyl, wherein alkyl is mono to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, or by two substituents of the phenyl radical which form a dioxolan ring

10. -C(O)-R^{12} , wherein R^{12} is phenyl or $\text{-(C}_1\text{-C}_7\text{)-alkyl}$, wherein phenyl or alkyl are mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
- 5 11. -C(O)-O-R^{12} , wherein R^{12} is phenyl or $\text{-(C}_1\text{-C}_7\text{)-alkyl}$, wherein phenyl or alkyl are mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
12. $\text{-O-(C}_1\text{-C}_6\text{)-alkyl-O-(C}_1\text{-C}_6\text{)-alkyl}$,
13. $\text{-O-(C}_0\text{-C}_4\text{)-alkyl-(C}_3\text{-C}_7\text{)-cycloalkyl}$ or
- 10 14. $\text{-(C}_1\text{-C}_4\text{)-alkyl-N(R}^{13}\text{)}_2$, wherein R^{13} is as defined in 1.7.7 above,
- R^5 is 1. a hydrogen atom,
2. $\text{-(C}_1\text{-C}_{10}\text{)-alkyl}$, wherein alkyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.4 above,
- 15 3. -C(O)-R^9 , wherein R^9 is
- -NH_2 , $\text{-(C}_1\text{-C}_{10}\text{)-alkyl}$, wherein alkyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 7.1 to 7.4, or $\text{-N(R}^{13}\text{)}_2$, wherein R^{13} is as defined in 1.7.7 above, or
- 20 4. $\text{-S(O)}_2\text{-R}^9$, wherein R^9 is as defined in 3 above, or
- R^4 and R^5 together with the atom to which they are bonded form a heterocycle, or
- R^3 and R^5 together with the atom to which they are bonded form a heterocycle containing an additional oxygen atom in the ring and
- 25 R^6 , R^7 and R^8 independently of one another are chosen from hydrogen atom or methyl, or

in case b)

- 30 the substituents R^1 , R^2 and R^4 may be independently chosen as defined under 1.1 to 1.18 in case a) above,

- R³ is
1. -CF₃,
 2. -CF₂-CF₃,
 3. -CN,
 4. -COOH,
 5. -NO₂,
 6. -NH₂,
 7. -O-(C₁-C₁₀)-alkyl, wherein alkyl is mono- to penta substituted by substituents independently chosen from
 - 7.1 phenyl, which is unsubstituted or mono- to penta- substituted by substituents independently chosen from halogen or -O-(C₁-C₄)-alkyl,
 - 7.2 halogen,
 - 7.3 -NH₂,
 - 7.4 -OH,
 - 7.5 -COOR¹⁶, wherein R¹⁶ is hydrogen atom or -(C₁-C₁₀)-alkyl,
 - 7.6 -NO₂,
 - 7.7 -S(O)_y-R¹⁴, wherein y is zero, 1 or 2, R¹⁴ is -(C₁-C₁₀)-alkyl, phenyl, which phenyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, amino or -N(R¹³)₂, wherein R¹³ is independently of one another chosen from hydrogen atom, phenyl, -(C₁-C₁₀)-alkyl, -C(O)-(C₁-C₇)-alkyl, -C(O)-phenyl, -C(O)-NH-(C₁-C₇)-alkyl, -C(O)-O-phenyl, -C(O)-NH-phenyl, -C(O)-O-(C₁-C₇)-alkyl, -S(O)_y-R¹⁴, wherein R¹⁴ and y are defined as in 7.7 above, and wherein the R¹³ alkyl or phenyl groups in each case are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, or
- R¹³ together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

- 7.8 -O-phenyl, wherein phenyl is unsubstituted or mono- to penta- by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
- 7.9 a radical selected from pyrrolidine, tetrahydropyridine, piperidine, piperazine, imidazoline, pyrazolidine, furan, morpholine, pyridine, pyridazine, pyrazine, oxolan, imidazoline, isoxazolidine, 2-isoxazoline, isothiazolidine, 2-isothiazoline, thiophene or thiomorpholine,
- 7.10 -(C₃-C₇)-cycloalkyl or
- 7.11 =O,
8. -N(R¹³)₂, wherein R¹³ is as defined in 1.7.7 above,
9. -NH-C(O)-R¹⁵, wherein R¹⁵ is
- 9.1 a radical selected from pyrrolidine, tetrahydropyridine, piperidine, piperazine, imidazoline, pyrazolidine, furan, morpholine, pyridine, pyridazine, pyrazine, oxolan, imidazoline, isoxazolidine, 2-isoxazoline, isothiazolidine, 2-isothiazoline, thiophene or thiomorpholine, wherein said radical is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, -CF₃, benzyl or by -(C₁-C₁₀)-alkyl, wherein alkyl is mono to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
- 9.2 -(C₁-C₁₀)-alkyl, wherein alkyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above or by -O-(C₁-C₁₀)-alkyl, wherein alkyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
- 9.3 -(C₃-C₇)-cycloalkyl,
- 9.4 -N(R¹³)₂, wherein R¹³ is as defined in 1.7.7 above, or

- 5 9.5 phenyl, wherein phenyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, by -O-(C₁-C₁₀)-alkyl, by -CN, by -CF₃, by -(C₁-C₁₀)-alkyl, wherein alkyl is mono to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above, or by two substituents of the phenyl radical which form a dioxolan ring,
10. -S(O)y-R¹⁴, wherein R¹⁴ and y are as defined in 1.7.7 above,
- 10 11. -C(O)-R¹², wherein R¹² is phenyl or -(C₁-C₇)-alkyl, wherein phenyl or alkyl are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
12. -C(O)-O-R¹², wherein R¹² is phenyl or -(C₁-C₇)-alkyl, wherein phenyl or alkyl are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
- 15 13. -(C₁-C₁₀)-alkyl, wherein alkyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,
- 20 14. -O-(C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl,
15. -O-(C₀-C₄)-alkyl-(C₃-C₇)-cycloalkyl or
16. -(C₁-C₄)-alkyl-N(R¹³)₂, wherein R¹³ is as defined in 1.7.7 above,
- R⁵ is as defined as R⁵ in case a) above,
- R⁶, R⁷ and R⁸ independently of one another are chosen from hydrogen atom or
- 25 methyl.

2. A compound of the formula I as claimed in claim 1, wherein in case a)
- B₆, B₇, B₈, and B₉ are each a carbon atom,
- R¹, R² and R³ independently of one another are chosen from hydrogen atom,
- 30 halogen, cyano, nitro, amino, -O-(C₁-C₇)-alkyl, wherein alkyl is unsubstituted or substituted by phenyl, -CF₂-CF₃, -CF₃, -N(R¹⁸)₂,

wherein R^{18} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl, phenyl, $-C(O)$ -phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH-phenyl, $-C(O)$ -O-phenyl, $-C(O)$ -O- (C_1-C_4) -alkyl or $-C(O)$ - (C_1-C_7) -alkyl, wherein alkyl, pyridyl or phenyl are unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, or R^{18} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms, $S(O)_y-R^{14}$,

wherein y is zero, 1 or 2, and R^{14} is $-(C_1-C_{10})$ -alkyl, phenyl, which phenyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, amino or $-N(R^{18})_2$,

wherein R^{18} is independently of one another chosen from

hydrogen atom, $-(C_1-C_7)$ -alkyl, phenyl, $-C(O)$ -phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH-phenyl, $-C(O)$ -O-phenyl, $-C(O)$ -O- (C_1-C_4) -alkyl or $-C(O)$ - (C_1-C_7) -alkyl, wherein each alkyl is

unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, or R^{18} together with the nitrogen atom to which it is

bonded form a heterocycle having 5 to 7 ring atoms, or

$-C(O)$ -O- R^{12} , wherein R^{12} is as defined as in 1.11 above,

R^4 is cyano, amino, $-O-(C_1-C_7)$ -alkyl, wherein alkyl is substituted by phenyl; $-CF_2-CF_3$, $-CF_3$, $-N(R^{18})_2$,

wherein R^{18} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl, phenyl, $-C(O)$ -phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH-phenyl, $-C(O)$ -O-phenyl, $-C(O)$ -O- (C_1-C_4) -alkyl or $-C(O)$ - (C_1-C_7) -alkyl, wherein each alkyl, pyridyl or phenyl are unsubstituted or mono- to tri- substituted independently of one another as defined under 1.7.1 to 1.7.11, or R^{18} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

S(O)_y-R¹⁴,

wherein y is zero, 1 or 2, and R¹⁴ is -(C₁-C₁₀)-alkyl, phenyl,
which phenyl is unsubstituted or mono- to penta- substituted by
substituents independently chosen from those as defined
under 1.7.1 to 1.7.11, amino or -N(R¹⁸)₂,

wherein R¹⁸ is independently of one another chosen from

hydrogen atom, -(C₁-C₇)-alkyl, phenyl, -C(O)-phenyl, -
C(O)-pyridyl, -C(O)-NH-phenyl, -C(O)-O-phenyl, -C(O)-O-
(C₁-C₄)-alkyl or -C(O)-(C₁-C₇)-alkyl, wherein each alkyl is
unsubstituted or mono- to tri- substituted independently of
one another as defined under 1.7.1 to 1.7.11, or R¹⁸
together with the nitrogen atom to which it is bonded form
a heterocycle having 5 to 7 ring atoms, or

-C(O)-O-R¹², wherein R¹² is phenyl or -(C₁-C₇)-alkyl, wherein said
phenyl or alkyl are unsubstituted or mono- to penta- substituted
by substituents independently chosen from those as defined
under 1.7.1 to 1.7.11 above,

R⁶, R⁷ and R⁸ independently of one another are chosen from hydrogen atom or
methyl, and
R⁵ is as defined as for case a) above.

3 A compound of the formula I as claimed in claim 1,
wherein in case b)

the substituents R¹, R² and R⁴ independently of one another are hydrogen
atom, halogen, cyano, nitro, amino, -O-(C₁-C₇)-alkyl, wherein alkyl is
unsubstituted or substituted by phenyl,
-CF₂-CF₃, -CF₃, -N(R¹⁸)₂,

wherein R¹⁸ is independently of one another chosen from hydrogen
atom, -(C₁-C₇)-alkyl, phenyl, -C(O)-phenyl, -C(O)-pyridyl, -C(O)-NH-
phenyl, -C(O)-O-phenyl, -C(O)-O-(C₁-C₄)-alkyl or -C(O)-(C₁-C₇)-

alkyl, wherein each alkyl, pyridyl or phenyl are unsubstituted or mono- to tri- substituted independently of one another as defined under 1.7.1 to 1.7.11, or R^{18} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

5 $S(O)_y-R^{14}$,

wherein y is zero, 1 or 2, and R^{14} is $-(C_1-C_{10})$ -alkyl, phenyl, which phenyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, amino or $-N(R^{18})_2$,

10 wherein R^{18} is independently of one another chosen from

hydrogen atom, $-(C_1-C_7)$ -alkyl, phenyl, $-C(O)$ -phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH-phenyl, $-C(O)$ -O-phenyl, $-C(O)$ -O- (C_1-C_4) -alkyl or $-C(O)$ - (C_1-C_7) -alkyl, wherein each alkyl is unsubstituted or mono- to tri- substituted independently of one another as defined under 1.7.1 to 1.7.11, or R^{18} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms, or

15 $-C(O)$ -O- R^{12} , wherein R^{12} is phenyl or $-(C_1-C_7)$ -alkyl, wherein said phenyl or alkyl are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,

20 R^3 is cyano, nitro, amino, $-O$ - (C_1-C_7) -alkyl, wherein alkyl is substituted by phenyl, $-CF_2-CF_3$, $-CF_3$, $-N(R^{18})_2$,

25 wherein R^{18} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl, phenyl, $-C(O)$ -phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH-phenyl, $-C(O)$ -O-phenyl, $-C(O)$ -O- (C_1-C_4) -alkyl or $-C(O)$ - (C_1-C_7) -alkyl, wherein each alkyl, pyridyl or phenyl are unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, or R^{18} together with the

30

nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

$S(O)_y-R^{14}$,

wherein y is zero, 1 or 2, and R^{14} is $-(C_1-C_{10})$ -alkyl, phenyl, which phenyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, amino or $-N(R^{18})_2$,

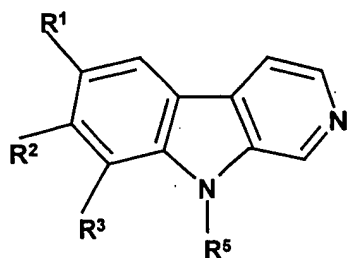
wherein R^{18} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl, phenyl, $-C(O)$ -phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH-phenyl, $-C(O)$ -O-phenyl, $-C(O)$ -O- (C_1-C_4) -alkyl or $-C(O)$ - (C_1-C_7) -alkyl, wherein each alkyl is unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11, or R^{18} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms, or

$-C(O)-O-R^{12}$, wherein R^{12} is phenyl or $-(C_1-C_7)$ -alkyl, wherein said phenyl or alkyl are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 above,

R^6 , R^7 and R^8 independently of one another are chosen from hydrogen atom or methyl, and

R^5 is as defined in claim 1.

4. A compound of formula II



(II)

or a stereoisomeric form of a compound of the formula II or a physiologically tolerable salt of a compound of the formula II, wherein;

R¹ and R² are independently of one another chosen from hydrogen atom, halogen, cyano, amino, -O-(C₁-C₄)-alkyl, nitro, -CF₃, -CF₂-CF₃, -S(O)_y-R¹⁴,

wherein y is 1 or 2, R¹⁴ is amino, -(C₁-C₇)-alkyl or phenyl, which phenyl is unsubstituted or mono- to tri-substituted as defined for substituents under 1.7.1 to 1.7.11 in claim 1,

-N(R¹⁸)₂, wherein R¹⁸ is independently of one another chosen from hydrogen atom, -(C₁-C₇)-alkyl-C(O)-(C₁-C₇)-alkyl, -C(O)-phenyl, C(O)-pyridyl, -C(O)-NH-(C₁-C₄)-alkyl, -C(O)-O-phenyl, -C(O)-O-(C₁-C₄)-alkyl or -(C₁-C₁₀)-alkyl, wherein pyridyl, alkyl or phenyl are unsubstituted or mono- to tri- substituted independently of one another as defined under 1.7.1 to 1.7.11 in claim 1, or R¹⁸ together with nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

R³ is cyano, amino, -O-(C₁-C₄)-alkyl, nitro, -CF₃, -CF₂-CF₃, -S(O)_y-R¹⁴, wherein y is 1 or 2, R¹⁴ is amino, -(C₁-C₇)-alkyl or phenyl, which phenyl is unsubstituted or mono- to tri- substituted as defined for substituents under 1.7.1 to 1.7.11 in claim 1,

-N(R¹⁸)₂, wherein R¹⁸ is independently of one another chosen from hydrogen atom, -(C₁-C₇)-alkyl-C(O)-(C₁-C₇)-alkyl, -C(O)-phenyl, -C(O)-pyridyl, -C(O)-O-phenyl, -C(O)-NH-(C₁-C₄)-alkyl, -C(O)-O-(C₁-C₄)-alkyl or -(C₁-C₁₀)-alkyl, wherein pyridyl, alkyl or phenyl are unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.11 in claim 1, or R¹⁸ together with nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms, and

R⁵ is hydrogen atom, -(C₁-C₁₀)-alkyl,

wherein alkyl is unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 1.7.1 to 1.7.4 in claim 1,

-C(O)-R⁹ or -S(O)₂-R⁹, wherein

- 5 R⁹ is -(C₁-C₁₀)-alkyl, -O-(C₁-C₁₀)-alkyl,
 wherein alkyl is unsubstituted or mono- to tri- substituted
 independently of one another as defined under 1.7.1 to 1.7.4 in
 claim 1, or
 phenyl, which is unsubstituted or mono- to tri- substituted as defined
10 under 1.7.1 to 1.7.11 in claim 1, or -N(R¹⁸)₂, wherein R¹⁸ is
 independently of one another chosen from hydrogen atom, -(C₁-C₇)-
 alkyl-C(O)-(C₁-C₇)-alkyl, -C(O)-phenyl, C(O)-pyridyl, -C(O)-NH-(C₁-
 C₄)-alkyl, -C(O)-O-phenyl, -C(O)-O-(C₁-C₄)-alkyl or -(C₁-C₁₀)-alkyl,
 wherein pyridyl, alkyl or phenyl are unsubstituted or mono- to tri-
15 substituted independently of one another as defined under 1.7.1 in
 to 1.7.11 in claim 1, or R¹⁸ together with nitrogen atom to which it is
 bonded form a heterocycle having 5 to 7 ring atoms.

5. A compound of formula II as claimed in claim 4, wherein

R¹ is bromo, -CF₃ or chloro,

20 R² is hydrogen atom or O-(C₁-C₂)-alkyl,

R³ is -N(R¹⁸)₂, wherein R¹⁸ is independently of one another chosen from
hydrogen atom, -N-C(O)-pyridyl, -C(O)-phenyl, -(C₁-C₇)-alkyl, -C(O)-(C₁-
C₄)-alkyl or -C(O)-O-(C₁-C₄)-alkyl, wherein alkyl or phenyl are
unsubstituted or mono- to tri- substituted by substituents independently
25 chosen from halogen or -O-(C₁-C₂)-alkyl, and

R⁵ is hydrogen atom, methyl or -S(O)₂-CH₃.

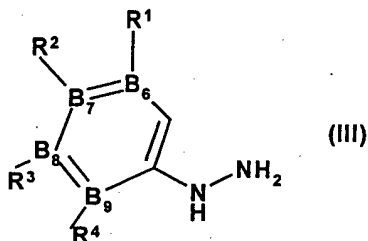
6. A compound of the formula II as claimed in claim 4,
 wherein

30 R¹ is chloro, R³ is -N-C(O)-CH₂-O-CH₃ and R² and R⁵ are each hydrogen
 atom, or

R^1 is chloro, R^3 is -N-C(O)-pyridyl, wherein pyridyl is unsubstituted or substituted by chloro, R^2 is hydrogen atom or -O-CH₃ and R^5 is hydrogen atom, or

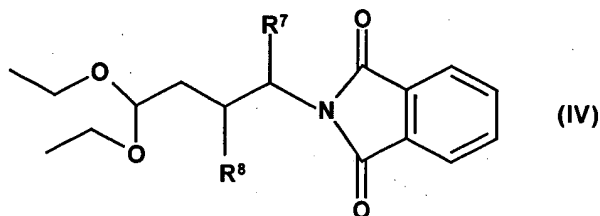
- 5 R^1 is chloro, R^3 is -N-C(O)-phenyl, wherein phenyl is mono- or di-substituted by fluoro and R^2 and R^5 are each hydrogen atom.

7. A process for the preparation of a compound of the formula I as claimed in claim 1, which comprises
reacting a compound of formula III

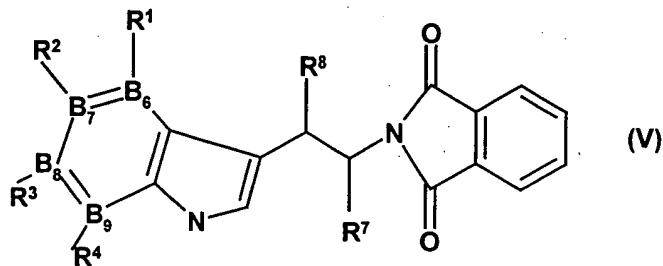


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in which R^1 , R^2 , R^3 , R^4 , B_6 , B_7 , B_8 and B_9 are each as defined in formula I, with a compound of the formula IV,

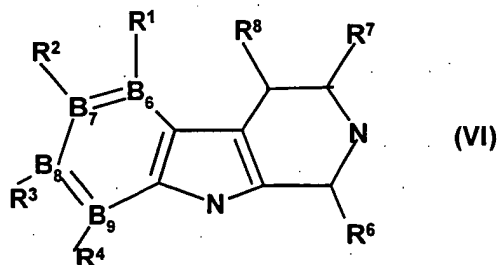


in the presence of an acid, to yield a compound of the formula V



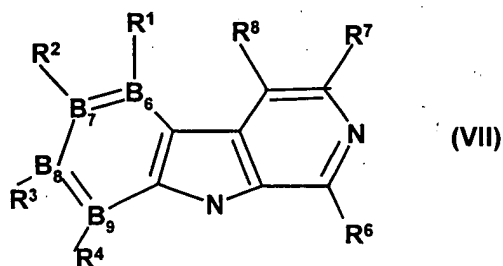
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which is reacted with hydrazine hydrate and later with $R^6\text{CHO}$ or formaldehyde (R^6 is H) to give a compound of formula VI



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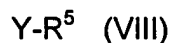
and then oxidizing formula VI to give a compound of the formula VII,



10

where R^1 to R^4 , R^6 to R^8 and B_6 to B_9 are as defined in formula I, a compound of formula (I).

8. A process according to claim 7, wherein a compound of the formula VII is reacted with a compound of the formula VIII



15

where Y is halogen or $-\text{OH}$ and R^5 is as defined in formula I, to give a compound of the formula I.

9. A process according to claim 7, which further comprises resolving a compound of the formula I formed by the process of claim 7, which on account of its chemical structure occurs in enantiomeric forms, into the

20

pure enantiomers by salt formation with enantiomerically pure acids or bases, chromatography on chiral stationary phases or derivatization by means of chiral enantiomerically pure compounds such as amino acids, separation of the diastereomers thus obtained, and removal of the chiral auxiliary groups.

5

10. A process according to claim 8, which further comprises resolving a compound of the formula I formed by the process of claim 8, which on account of its chemical structure occurs in enantiomeric forms, into the pure enantiomers by salt formation with enantiomerically pure acids or bases, chromatography on chiral stationary phases or derivatization by means of chiral enantiomerically pure compounds such as amino acids, separation of the diastereomers thus obtained, and removal of the chiral auxiliary groups.

10

15

11. A process according to claim 7, which further comprises isolating a compound of the formula I prepared by the process of claim 7, either in free form or, in the case of the presence of acidic or basic groups, converting it into physiologically tolerable salts.

20

12. A process according to claim 8, which further comprises isolating a compound of the formula I prepared by the process of claim 8, either in free form or, in the case of the presence of acidic or basic groups, converting it into physiologically tolerable salts.

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13. A process according to claim 9, which further comprises isolating a compound of the formula I prepared by process 9, either in free form or, in the case of the presence of acidic or basic groups, converting it into physiologically tolerable salts.

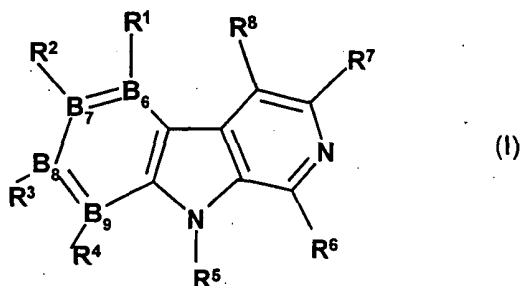
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14. A composition which comprises an efficacious amount of at least one compound chosen from the compounds of formula I as claimed in claim 1, a physiologically tolerable salt of the compounds of the formula I or an optionally stereoisomeric form of the compounds of the formula I, together with at least one pharmaceutically suitable and physiologically tolerable excipient, additive, active compound or auxiliary.

15. A method for the production of a compound for the prophylaxis or therapy of disorders in whose course an increased activity of I κ B kinase is involved,

10 comprising

bringing into a suitable administration form at least one compound chosen from a compound of formula I,



15 a stereoisomeric form of a compound of formula I or a physiologically tolerable salt of a compound of formula I,

wherein B₆, B₇, B₈ and B₉ are ring atoms independently chosen from carbon atoms and nitrogen atoms and wherein B₆, B₇, B₈ and B₉ together are no more than two nitrogen atoms at the same time;

20 where the substituents R¹, R², R³, R⁴ and R⁸ may be independently chosen from

1. hydrogen atom,
2. halogen,
3. -OH,
4. -CN,
5. sulfo,
6. -NO₂,

25

- 5
7. -NH_2 ,
 8. alkoxy,
 9. substituted amino,
 10. -NH-C(O)-R^{15} , wherein R^{15} is a heterocycle having 5 to 7 ring
atoms, an alkyl, an aryl, a substituted aryl or a substituted alkyl,
 11. -COOH ,
 12. -O-R^{10} , wherein R^{10} is alkyl, substituted alkyl or aryl,
 13. -C(O)-R^{12} , wherein R^{12} is alkyl, substituted alkyl or aryl,
 14. -C(O)-O-R^{12} , wherein R^{12} is alkyl, substituted alkyl or aryl,
 - 10 15. aryl,
 16. -O-aryl ,
 17. substituted aryl,
 18. $\text{-O-substituted aryl}$,
 19. alkyl,
 - 15 20. substituted alkyl,
 21. -CF_3 or
 22. $\text{-CF}_2\text{-CF}_3$,

provided that at least one of R^1 , R^2 , R^3 , R^4 and R^8 is not a hydrogen atom,

- R^5 is
1. hydrogen atom,
 - 20 2. alkyl,
 3. alkyl radical, substituted at one or more positions by one or
more of the radicals, halogen, amino or hydroxyl,
 4. -C(O)-R^9 or
 5. $\text{-S(O)}_2\text{-R}^9$, in which
- 25 R^9 is
- a) alkyl,
 - b) alkyl radical, substituted at one or more
positions by one or more of the radicals,
halogen, amino or hydroxyl,
 - c) aryl,

- d) aryl radical, substituted at one or more positions by one or more of the radicals, halogen, amino, or hydroxyl,
- e) -NH_2 ,
- f) alkoxy or
- g) substituted amino, and

5

R^6 and R^7 may be independently chosen from

- 1. hydrogen atom,
- 2. halogen,
- 10 3. -OH ,
- 4. methyl,
- 5. $\text{-O-(C}_1\text{-C}_{10}\text{)-alkyl}$, wherein alkyl is unsubstituted or mono- to tri-substituted by substituents independently chosen from
 - 5.1 aryl,
 - 15 5.2 halogen,
 - 5.3 -NO_2 ,
 - 5.4 sulfo,
 - 5.5 -COOH ,
 - 5.6 -NH_2 ,
 - 20 5.7 $\text{-O-(C}_1\text{-C}_4\text{)-alkyl}$ or
 - 5.8 -OH , or
- 6. $\text{-N(R}^{13}\text{)}_2$, wherein R^{13} is independently of one another chosen from hydrogen atom, aryl, $\text{-C(O)-(C}_1\text{-C}_4\text{)-alkyl}$ or substituted aryl or alkyl, wherein said $\text{-C(O)-(C}_1\text{-C}_4\text{)-alkyl}$ is unsubstituted or mono- to tri-substituted independently of one another as defined under 5.1 to 25 5.8, or
 R^{13} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms.

- 30 16. The method as claimed in claim 15,
wherein

B₆, B₇, B₈, and B₉ are each a carbon atom,

R¹, R², R³, R⁴ and R⁸ are independently chosen from

1. hydrogen atom,
2. halogen,
3. -CN,
4. -COOH,
5. -NO₂,
6. -NH₂,
7. -O-(C₁-C₁₀)-alkyl, wherein alkyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from
 - 7.1 phenyl, which is unsubstituted or mono- to penta- substituted by substituents independently chosen from halogen or -O-(C₁-C₄)-alkyl,
 - 7.2 halogen,
 - 7.3 -NH₂,
 - 7.4 -OH,
 - 7.5 -COOR¹⁶, wherein R¹⁶ is hydrogen atom or -(C₁-C₁₀)-alkyl,
 - 7.6 -NO₂,
 - 7.7 -S(O)_y-R¹⁴, wherein y is zero, 1 or 2, R¹⁴ is -(C₁-C₁₀)-alkyl, phenyl, which phenyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 7.1 to 7.11, amino or -N(R¹³)₂, wherein R¹³ is independently of one another chosen from hydrogen atom, phenyl, -(C₁-C₁₀)-alkyl, -C(O)-(C₁-C₇)-alkyl, -C(O)-phenyl, -C(O)-NH-(C₁-C₇)-alkyl, -C(O)-O-phenyl, -C(O)-NH-phenyl, -C(O)-O-(C₁-C₇)-alkyl, -S(O)_y-R¹⁴, wherein R¹⁴ and y are as defined immediately above, and wherein the R¹³ alkyl or phenyl groups in each case are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 7.1 to 7.11 above, or

R¹³ together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

7.8 -O-phenyl, wherein phenyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 7.1 to 7.11 above,

7.9 a radical selected from pyrrolidine, tetrahydropyridine, piperidine, piperazine, imidazoline, pyrazolidine, furan, morpholine, pyridine, pyridazine, pyrazine, oxolan, imidazoline, isoxazolidine, 2-isoxazoline, isothiazolidine, 2-isothiazoline, thiophene or thiomorpholine,

7.10 -(C₃-C₇)-cycloalkyl or

7.11 =O,

8. -N(R¹³)₂, wherein R¹³ is as defined in 7.7 above,

9. -NH-C(O)-R¹⁵, wherein R¹⁵ is

9.1 a radical selected from pyrrolidine, tetrahydropyridine, piperidine, piperazine, imidazoline, pyrazolidine, furan, morpholine, pyridine, pyridazine, pyrazine, oxolan, imidazoline, isoxazolidine, 2-isoxazoline, isothiazolidine, 2-isothiazoline, thiophene or thiomorpholine,

wherein said radical is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 7.1 to 7.11 above, -CF₃, benzyl or by -(C₁-C₁₀)-alkyl, wherein alkyl is mono to tri- substituted independently of one another as defined under 7.1 to 7.11 above,

9.2 -(C₁-C₁₀)-alkyl, wherein alkyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 7.1 to 7.11 above or by -O-(C₁-C₁₀)-alkyl, wherein alkyl is unsubstituted or mono- to penta-substituted by substituents independently chosen from those as defined under 7.1 to 7.11 above,

- 5 9.3 $-(C_3-C_7)$ -cycloalkyl,
9.4 $-N(R^{13})_2$, wherein R^{13} is as defined in 7.7 above, or
9.5 phenyl, wherein phenyl is unsubstituted or mono- to penta-
substituted by substituents independently chosen from those
as defined under 7.1 to 7.11 above, by $-O-(C_1-C_{10})$ -alkyl, by
 $-CN$, by $-CF_3$, by $-(C_1-C_{10})$ -alkyl, wherein alkyl is mono to tri-
substituted by substituents independently chosen from those
as defined under 7.1 to 7.11 above, or by two substituents of
the phenyl radical which form a dioxolan ring ,
10 10. $-S(O)_y-R^{14}$, wherein R^{14} and y are as defined in 7.7 above,
11. $-C(O)-R^{12}$, wherein R^{12} is phenyl or $-(C_1-C_7)$ -alkyl, wherein phenyl or
alkyl are unsubstituted or mono- to penta- substituted by
substituents independently chosen from those as defined under 7.1
to 7.11 above,
15 12. $-C(O)-O-R^{12}$, wherein R^{12} is phenyl or $-(C_1-C_7)$ -alkyl, wherein
phenyl or alkyl are unsubstituted or mono- to penta- substituted by
substituents independently chosen from those as defined
under 7.1 to 7.11 above,
13. $-(C_1-C_{10})$ -alkyl, wherein alkyl is unsubstituted or mono- to penta-
substituted by substituents independently chosen from those as
20 defined under 7.1 to 7.11 above,
14. $-O-(C_1-C_6)$ -alkyl- $O-(C_1-C_6)$ -alkyl,
15. $-O-(C_0-C_4)$ -alkyl- (C_3-C_7) -cycloalkyl,
16. $-(C_1-C_4)$ -alkyl- $N(R^{13})_2$, wherein R^{13} is as defined in 7.7 above
25 17. $-CF_3$ or
18. $-CF_2-CF_3$,
provided that at least one of R^1 , R^2 , R^3 , R^4 and R^8 is not a hydrogen atom,
 R^5 is 1. hydrogen atom,
2. $-(C_1-C_{10})$ -alkyl, wherein alkyl is unsubstituted or mono- to penta-
substituted by substituents independently chosen from those as
30 defined under 7.1 to 7.4 above,

3. $-C(O)-R^9$, wherein R^9 is

$-NH_2$, $-(C_1-C_{10})$ -alkyl, wherein alkyl is unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 7.1 to 7.4, or $-N(R^{13})_2$, wherein R^{13} is as defined in 7.7 above, or

4. $-S(O)_2-R^9$, wherein R^9 is as defined in 3 above,

or R^4 and R^5 together with the atom to which they are bonded form a heterocycle, or R^3 and R^5 together with the atom to which they are bonded form a heterocycle containing an additional oxygen atom in the ring and

R^6 and R^7 independently of one another are chosen from hydrogen atom or methyl.

17. The method as claimed in claim 16,
wherein

B_6 , B_7 , B_8 , and B_9 are each a carbon atom,

R^1 , R^2 , R^3 and R^4 independently of one another are hydrogen atom, halogen, cyano, nitro, amino, $-O-(C_1-C_7)$ -alkyl, phenyl, $-O$ -phenyl, $-CF_2-CF_3$, $-CF_3$, $N(R^{13})_2$,

wherein R^{13} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl, phenyl, $-C(O)$ -phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH-phenyl, $-C(O)$ -O-phenyl, $-C(O)$ -O- (C_1-C_4) -alkyl, $-C(O)$ - (C_1-C_7) -alkyl or $-(C_1-C_{10})$ -alkyl, wherein alkyl, pyridyl or phenyl are unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 7.1 to 7.11 of claim 16, or R^{13} together with nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

$-S(O)_y-R^{14}$,

wherein y is zero, 1 or 2, and R^{14} is $-(C_1-C_{10})$ -alkyl, phenyl, which phenyl is unsubstituted or mono- to penta- substituted as defined for substituents under 7.1 to 7.11 of claim 16, amino or $-N(R^{13})_2$,

wherein R^{13} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl- $C(O)$ -(C_1-C_7)-alkyl, $-C(O)$ -phenyl, $C(O)$ -pyridyl, $-C(O)$ -NH-(C_1-C_4)-alkyl, $-C(O)$ -O-phenyl, $-C(O)$ -O-(C_1-C_4)-alkyl or $-(C_1-C_{10})$ -alkyl, wherein each alkyl is unsubstituted or mono- to tri- substituted independently of one another as defined under 7.1 to 7.11 of claim 16, or R^{13} together with nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms, or

5

10

$-C(O)$ -O- R^{12} , wherein R^{12} is phenyl or $-(C_1-C_7)$ -alkyl, wherein said phenyl or alkyl are unsubstituted or mono- to penta- substituted by substituents independently chosen from those as defined under 7.1 to 7.11 of claim 16,

15

R^6 , R^7 and R^8 independently of one another are hydrogen atom, methyl, amino, - $N(R^{13})_2$, wherein R^{13} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl- $C(O)$ -(C_1-C_7)-alkyl, $-C(O)$ -phenyl, $C(O)$ -pyridyl, $-C(O)$ -NH-(C_1-C_4)-alkyl, $-C(O)$ -O-phenyl, $-C(O)$ -O-(C_1-C_4)-alkyl or $-(C_1-C_{10})$ -alkyl, wherein pyridyl, alkyl or phenyl are unsubstituted or mono- to tri- substituted independently of one another as defined under 7.1 to 7.11 of claim 16, or R^{13} together with nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,,

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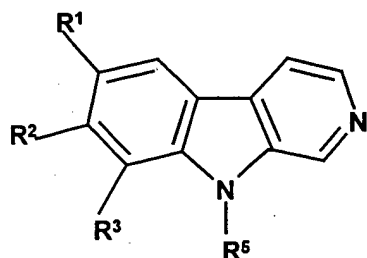
provided that at least one of R^1 , R^2 , R^3 , R^4 and R^8 is not a hydrogen atom, and R^5 is as defined in claim 16.

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18. A method for the production of a compound for the prophylaxis or therapy of disorders in whose course an increased activity of I κ B kinase is involved, comprising

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bringing into a suitable administration form at least one compound chosen from a compound of formula II,



(II)

a stereoisomeric form of a compound of the formula II, or a physiologically tolerable salt of a compound of the formula II,

wherein, R^1 , R^2 and R^3 are independently chosen from hydrogen atom, halogen,

5 cyano, amino, $-O-(C_1-C_4)$ -alkyl, nitro, $-CF_3$, $-CF_2-CF_3$, $-S(O)_y-R^{14}$,

wherein y is 1 or 2,

R^{14} is amino, $-(C_1-C_7)$ -alkyl, phenyl, which is unsubstituted or mono- to tri-substituted by substituents independently chosen from those as defined under 7.1 to 7.9 of claim 16, or $-N(R^{13})_2$,

10 wherein R^{13} is independently of one another chosen from hydrogen

atom, $-(C_1-C_7)$ -alkyl- $C(O)$ - (C_1-C_7) -alkyl, $-C(O)$ -phenyl,

$-C(O)$ -O-phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH- (C_1-C_4) -alkyl, $-C(O)$ -O- (C_1-C_4) -alkyl, or $-(C_1-C_{10})$ -alkyl, wherein

15 pyridyl, alkyl or phenyl are unsubstituted or mono- to tri-substituted with substituents independently chosen from those as defined under 7.1 to 7.11 of claim 16, or R^{13} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms,

provided that at least one of R^1 , R^2 and R^3 is not a hydrogen atom, and

20 R^5 is hydrogen atom, $-(C_1-C_{10})$ -alkyl,

wherein alkyl is unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 7.1 to 7.4 of claim 16,

$-C(O)-R^9$ or $-S(O)_2-R^9$, wherein

R^9 is $-(C_1-C_{10})$ -alkyl, $-O-(C_1-C_{10})$ -alkyl,

25 wherein alkyl is unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 7.1 to 7.4 of claim 16,

phenyl, which is unsubstituted or mono- to tri- substituted by substituents independently chosen from those as defined under 7.1 to 7.11 of claim 16, or $-N(R^{13})_2$,

wherein R^{13} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl- $C(O)$ - (C_1-C_7) -alkyl, $-C(O)$ -phenyl, $-C(O)$ -O-phenyl, $-C(O)$ -pyridyl, $-C(O)$ -NH- (C_1-C_4) -alkyl, $-C(O)$ -O- (C_1-C_4) -alkyl, or $-(C_1-C_{10})$ -alkyl, wherein pyridyl, alkyl or phenyl are unsubstituted or mono- to tri-substituted with substituents independently chosen from those as defined under 7.1 to 7.11 of claim 16, or R^{13} together with the nitrogen atom to which it is bonded form a heterocycle having 5 to 7 ring atoms.

19. The method as claimed in claim 18,
wherein

15 R^1 , R^2 and R^3 are independently chosen from hydrogen atom, halogen, cyano, amino, $-O-(C_1-C_4)$ -alkyl, nitro, $-CF_3$ or $N(R^{13})_2$,

wherein R^{13} is independently of one another chosen from hydrogen atom, $-(C_1-C_7)$ -alkyl, $-C(O)$ - (C_1-C_7) -alkyl, $-C(O)$ -pyridyl, $-C(O)$ -phenyl or $-C(O)$ -O- (C_1-C_4) -alkyl, wherein alkyl or phenyl are unsubstituted or mono- to tri- substituted by substituents independently chosen from halogen or $-O-(C_1-C_4)$ -alkyl, and

R^5 is hydrogen atom, $-C(O)-CH_3$ -, methyl, $-S(O)_2-CH_3$, $-C(O)$ -morpholinyl, $-CH_2-CH_2-OH$ or $-CH_2-C(O)-NH_2$,

provided that no more than two of R^1 , R^2 , R^3 and R^5 are a hydrogen atom.

20. The method as claimed in claim 18, wherein

R^1 is bromo, $-CF_3$ or chloro, R^2 is hydrogen atom or $O-(C_1-C_2)$ -alkyl, R^3 is hydrogen atom, bromo, chloro or $-N(R^{13})_2$,

wherein R^{13} is independently of one another chosen from hydrogen atom, $-C(O)$ -phenyl, $-(C_1-C_7)$ -alkyl, $-C(O)$ - (C_1-C_4) -alkyl or $-C(O)$ -O- $(C_1-$

C₄)-alkyl, wherein alkyl or phenyl are unsubstituted or mono- to tri-substituted by substituents independently chosen from halogen or -O-(C₁-C₂)-alkyl, and

R⁵ is hydrogen atom, -C(O)-CH₃-, methyl or -S(O)₂-CH₃,

5 provided that no more than two of R¹, R², R³ and R⁵ are a hydrogen atom.

21. The method as claimed in claim 18, wherein

R¹ is chloro, R³ is -N-C(O)-CH₂-O-CH₃ and R² and R⁵ are each hydrogen atom, or

R¹ is chloro, R³ is -N-C(O)-pyridyl, wherein pyridyl is unsubstituted or substituted

10 by chloro, R² is hydrogen atom or -O-CH₃ and R⁵ is hydrogen atom, or

R¹ is chloro, R³ is -N-C(O)-phenyl, wherein phenyl is mono- or di-substituted by fluoro and R² and R⁵ are each hydrogen atom, or

R¹ and R³ are each chloro, R² is -C(O)-CH₃ and R⁵ is hydrogen atom, or

R¹ and R³ are each chloro, R² is -C(O)-CH₂-CH₃ and R⁵ is hydrogen atom.

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22. A method for treating a patient experiencing at least one disorder involving an increased activity of I_kB kinase, the method comprising administering to the patient an efficacious amount of at least one compound chosen from a compound of formula I as set forth in claim 1, a stereoisomeric form of a compound of the

20

formula I, or a physiologically tolerable salt of a compound of the formula I.

23. The method as claimed in claim 22, wherein the at least one disorder is

joint inflammation, acute synovitis, tuberculosis, atherosclerosis, muscle degeneration, cachexia, Reiter's syndrome, endotoxaemia, sepsis, septic shock,

25 endotoxic shock, gram negative sepsis, gout, toxic shock syndrome, chronic

pulmonary inflammatory diseases, silicosis, pulmonary sarcoidosis, bone

resorption diseases, reperfusion injury, carcinoses, leukemia, sarcomas, lymph node tumors, skin carcinoses, lymphoma, apoptosis, graft versus host reaction,

allograft rejection, leprosy, infections, acquired immune deficiency syndrome

30 (AIDS); AIDS related complex; cachexia secondary to infection or malignancy;

cachexia secondary to acquired immune deficiency syndrome or to cancer; keloid

and scar tissue formation; pyresis; diabetes; inflammatory bowel diseases;
 • diseases of or injury to the brain in which over-expression of TNF α has been
 implicated, psoriasis, Alzheimer's disease, carcinomatous disorders (potentiation
 —of cytotoxic therapies), cardiac infarct, chronic obstructive pulmonary disease and
 5 acute respiratory distress syndrome.

24. The method as claimed in claim 22, wherein the disorder is joint
 inflammation including arthritis and arthritic conditions.

25. The method as claimed in claim 24, wherein the disorder is arthritis or
 10 arthritic conditions chosen from rheumatoid arthritis, rheumatoid spondylitis,
 gouty arthritis, traumatic arthritis, rubella arthritis, psoriatic arthritis, and
 osteoarthritis.

26. The method of claim 22, wherein the disorder is chronic pulmonary
 15 inflammatory diseases chosen from asthma and adult respiratory distress
 syndrome.

27. The method of claim 22, wherein the disorder is an infection chosen from
 viral infections, parasitic infections, and yeast and fungal infections.

20 28. The method of claim 27, wherein the disorder is a viral infection chosen
 from HIV, cytomegalovirus, influenza, adenovirus and the Herpes group of
 viruses.

25 29. The method of claim 22, wherein the disorder is malaria.

30. The method of claim 29, wherein the malaria is cerebral malaria.

31. The method of claim 22, wherein the disorder is a yeast or fungal infection
 30 including fungal meningitis; fever and myalgias due to infection.

32. The method of claim 22, wherein the the disorder is inflammatory bowel
 disease including Crohn's disease and ulcerative colitis.

33. The method of claim 22, wherein the the disorder is a disease of or injury to the brain including multiple sclerosis or head trauma.

34. A method for treating a patient experiencing at least one disorder, the
5 method comprising administering to the patient an efficacious amount of at least one compound chosen from a compound of formula II as set forth in claim 4, a stereoisomeric form of a compound of the formula II, or a physiologically tolerable salt of a compound of the formula II, wherein the at least one disorder is asthma, osteoarthritis, rheumatoid arthritis, Alzheimer's disease, carcinomatous disorders
10 and cardiac infarct.